

Abstract

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Title of Thesis: Tetrazoles as potential antituberculotics

The development of new antituberculotics has recently become the subject of interest of many pharmaceutical companies. The disease's pharmacotherapy is very demanding on the health system as well as patient compliance, neither of which are on a sufficient level in the developing countries. As a result, multiresistant strains evolve and those, becoming practically untreatable, pose a serious threat even to the western civilization. Many pharmaceutical laboratories including the KAOCH of FaF are searching for new and more effective agents. One of the contributions to the field of research is also this diploma thesis.

The subject of the thesis was the synthesis of series of substituted 1-aryl-1*H*-tetrazol-5-thioles and a combination of three antituberculotically promising structural elements, 1-aryl-1*H*-tetrazole as a ground structure, an alkylated atom of sulfur connected to an electroneficient carbon atom and an implementation of nitro groups. Final structures were reached through a few synthetic steps including both reactions known and new. The Hodgkins and Reeves isothiocyanate synthesis, the Altland tetrazole synthesis and the common (chloromethyl)thioaromates synthesis supplemented by the alkylation of 5-phenyl-1*H*-tetrazole potassium salts or 5-(3,5-dinitrophenyl)-1*H*-tetrazole potassium salts by relevant 5-(chloromethylsulfanyl)-1-aryl-1*H*-tetrazoles..

The products were characterized with melting points, ^1H NMR and ^{13}C NMR spectral analysis and elementary analysis. Six of the eight originally intended structures were successfully synthesized and one of these was evaluated for its *in vitro* antimycobacterial activity.

